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 * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

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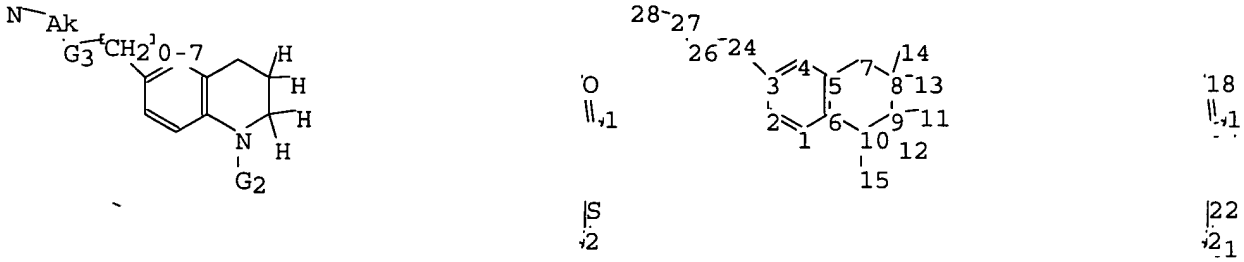


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11  12  13  14  15  17  18  21  22  24  26  27  28
ring nodes :
1  2  3  4  5  6  7  8  9  10
chain bonds :
3-24  8-13  8-14  9-11  9-12  10-15  17-18  21-22  24-26  26-27  27-28
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-10  7-8  8-9  9-10
exact/norm bonds :
5-7  6-10  7-8  8-9  9-10  10-15  17-18  21-22  24-26  26-27  27-28
exact bonds :
3-24  8-13  8-14  9-11  9-12
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
isolated ring systems :
containing 1 :


G1:O,N

G2:SO2,[*1],[*2]

G3:C,O,S,N

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:CLASS  12:CLASS  13:CLASS  14:CLASS  15:CLASS  17:CLASS  18:CLASS  21:CLASS
22:CLASS  24:CLASS  26:CLASS  27:CLASS  28:CLASS
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10/648,451

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L1       STRUCTURE UPLOADED

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L3           219 SEA SSS FUL L1

=> file ca

=> s l3
L4             8 L3

=> d ibib abs fhitstr 1-8
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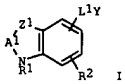
L4 ANSWER 1 OF 8 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:197381 CA
TITLE: Preparation of ureidophenylacetyltetrahydroquinolinecarboxylates, -dihydroindolecarboxylates, and related compounds which regulate the interaction of VCAM-1 and fibronectin with integrin VLA-4 (α4β1).
INVENTOR(S): Bourzat, Jean-Dominique; Commercon, Alain; Filoche, Bruno Jacques Christophe; Harris, Neil Victor; McCarthy, Clive
PATENT ASSIGNEE(S): Aventis Pharma Ltd., UK; Aventis Recherche Developpement
SOURCE: U.S., 124 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6608084	B1	20030819	US 2001-856106	20011017
WO 2000015612	A1	20000323	WO 1999-GB2819	19990826
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:
GB 1998-19641 A 19980826
US 1998-110008P P 19981125
WO 1999-GB2819 W 19990826
GB 1998-18641 A 19980826

OTHER SOURCE(S): MARPAT 139:197381
GI



I

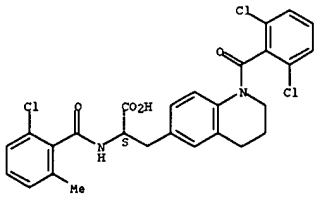
AB Title compds. [I; R1 = R3Z3, R3L2R4Z3, R3L3Ar1L4Z3, R3L3Ar1L2R4Z3; R2 = H, halo, alkyl, alkoxy; A1 = C1-3 alkylene optionally substituted by ≥1 alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, imino, oxo, thioxo, alkyl substituted by ZR6, NY1Y2, CO2R6, CONY1Y2; L1 = bond, alkenylene, alkylene, alkynylene, cycloalkenylene, cycloalkylene, heteroaryldiyl, heterocycloalkylene, arylene each optionally substituted by an acidic functional group, etc.; Z1 = CR7R7a, CO, CH(OH); L2 = NR5CZNR5, CO, etc.; L3 = heteroarylene, SOm, NR5, etc.; L4 = bond,

L4 ANSWER 1 OF 8 CA COPYRIGHT 2005 ACS on STN (Continued)
alkylene, alkenylene, alkynylene; Y = carboxy or an acid bioisostere; Y1, Y2 = H, alkenyl, alkyl, aryl, aralkyl, etc.; R2 = H, halo, alkyl, alkoxy; R3 = alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, etc.; R4 = alkylene, alkenylene, alkynylene; R5, R7, R7a = H, alkyl; R6 = H, alkyl, alkenyl, aryl, aralkyl, heteroaryl, etc.; Ar1 = (hetero)arylene; Z3 = bond, CO, O2C, NR5CO, SO2; Z = O, S; m = 1, 2], were prepd. Thus, 3-methoxy-4-[3-(2-methylphenyl)ureido]phenylacetic acid in THF at 20° was treated with 4Å sieves, Et 3-(1,2,3,4-tetrahydro-6-quinolinyl)propanoate (prepn. given), Et3N, O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate, and 4-dimethylaminopyridine; after stirring at 20° for 1 h, Et 3-[1-[2-[3-methoxy-4-[(2-toluidinocarbonyl)amino]phenyl]acetyl]-1,2,3,4-tetrahydro-6-quinolinyl]propanoate was obtained. Sapon. with LiOH in EtOH/H2O gave 3-[1-[[3-methoxy-4-[3-(2-methylphenyl)ureido]phenyl]acetyl]-1,2,3,4-tetrahydroquinolin-6-yl]propionic acid. Selected I inhibited cell adhesion to fibronectin and VCAM-1 with IC50 = 0.1 nM-100 μM.

IT **261732-06-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ureidophenylacetyltetrahydroquinolinecarboxylates, -dihydroindolecarboxylates, and related compds. which regulate the interaction of VCAM-1 and fibronectin with integrin VLA-4)

RN 261732-06-3 CA
CN 6-Quinolinepropanoic acid, α-[(2-chloro-6-methylbenzoyl)amino]-1-(2,6-dichlorobenzoyl)-1,2,3,4-tetrahydro-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 8 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 137:63179 CA
TITLE: Preparation of dihydroindole and tetrahydroquinoline derivatives as inhibitors of 2,3-oxidosqualene-lanosterol cyclase
INVENTOR(S): Aebi, Johannes; Ackermann, Jean; Chucholowski, Alexander; Dehmlow, Henrietta; Morand, Olivier; Wallbaum, Sabine; Weller, Thomas; Panday, Narendra
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

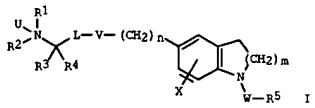
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050041	A1	20020627	WO 2001-EP14620	20011212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003004156	A1	20030102	US 2001-14959	20011211
US 6706751	B2	20040316		
CA 2431100	AA	20020627	CA 2001-2431100	20011212
AU 2002019176	A5	20020701	AU 2002-19176	20011212
EP 1358162	A1	20031105	EP 2001-271362	20011212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016263	A	20031230	BR 2001-16263	20011212
JP 2004519441	T2	20040702	JP 2002-551538	20011212
ZA 2003004646	A	20040913	ZA 2003-4646	20030613
US 2005020624	A1	20050127	US 2003-648451	20030826

PRIORITY APPLN. INFO.:
EP 2000-128063 A 20001221
US 2001-14959 A3 20011211
WO 2001-EP14620 W 20011212

OTHER SOURCE(S): MARPAT 137:63179
GI

Parent

L4 ANSWER 2 OF 8 CA COPYRIGHT 2005 ACS on STN (Continued)



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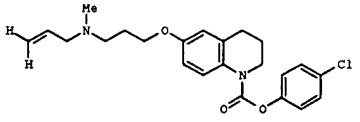


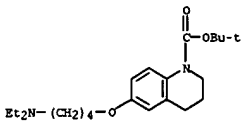
II

AB Title compds. I [U = O or lone pair; V = O, S, NR6, or CH2, and L = alkylene or alkenylene or V = -CH=CH- or -C.tplbond.C-, and L = alkylene or single bond; W = CO, CO2, CONR7, CSO, CSNR7, SO2, or SO2NR7; X = H or one or more optional halogen and/or alkyl substituents; m = 1-2; n = 0-7; R1 = H, (un)substituted alkyl or alkenyl; R2 = (un)substituted cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R3 and R4 independently = H or alkyl or R1 and R2 or R1 and R3 are bonded to each other to form a ring and R1R2 or R1R3 are alkylene or alkenylene optionally substituted by R8, in which one -CH2- group of R1R2 or R1R3 can optionally be replaced by NR9, S, or O; R5 = (un)substituted cycloalkyl, cycloalkylalkyl, heterocycloalkyl, aryl arylalkyl, etc.; R8 = OH, alkoxy, thioalkoxy, NR10R11, or (un)subsituted alkyl; R6, R7, R9, R10, R11 independently = H or alkyl], and their pharmaceutically acceptable salts and/or pharmaceutically acceptable esters thereof are prepared and disclosed as agents for treatment of diseases associated with 2,3-oxidosqualene-lanosterol cyclase (OSC). Thus, II was prepared from 1,2,3,4-tetrahydroquinolin-6-ol via condensation with 4-chlorophenyl chloroformate, O-alkylation with 1,3-dibromopropane and substitution with N-allylmethylamine. The preferred compds. of the present invention exhibit IC50 values of 1 nM to 10 μM, preferably of 1-100 nM. OSC related diseases/disorders for which I are useful for treatment and/or prophylaxis of include, but are not limited to, hypercholesterolemia, hyperlipemia, arteriosclerosis, vascular diseases, mycosis, gallstones, tumors and/or hyperprolilerative disorders, and treatment and/or prophylaxis of impaired glucose tolerance and diabetes.

IT **439226-64-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of dihydroindole and tetrahydroquinoline derivs. as inhibitors of 2,3-oxidosqualene-lanosterol cyclase)

RN 439226-64-9 CA
CN 1(2H)-Quinolinecarboxylic acid, 6-[4-(diethylamino)butoxy]-3,4-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 8 CA COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

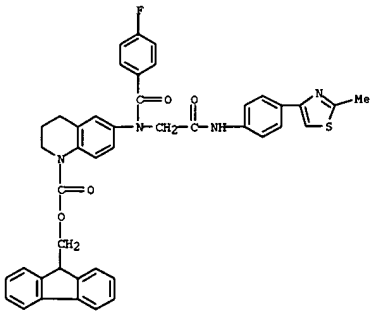
L4 ANSWER 3 OF 8 CA COPYRIGHT 2005 ACS on STN (Continued)

infections such as pox (blister) caused by the infection with varicella zoster virus, herpes zoster caused by the recurrent infection with latent varicella zoster virus, herpes labialis and herpes encephalitis caused by the infection with HSV-1 and genital herpes caused by the infection with HSV-2. N-(([4-(2-Aminothiazol-4-yl)phenyl]carbamoyl]methyl)-4-fluoro-N-(2,3-dihydro-1H-indol-6-yl)benzamide dihydrochloride showed EC50 value of 0.046 μM against varicella zoster virus, vs. EC50 value of 4.3 μM shown by acyclovir.

IT **425690-01-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amide derivs. as antiherpes agents)

RN 425690-01-3 CA

CN 1(2H)-Quinolinecarboxylic acid, 6-[(4-fluorobenzoyl)[2-[[4-(2-methyl-4-thiazolyl)phenyl]amino]-2-oxoethyl]amino]-3,4-dihydro-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)



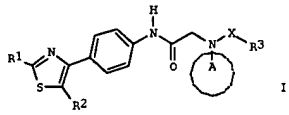
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 8 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 136:386109 CA
TITLE: Preparation of amide derivatives as antiherpes agents
INVENTOR(S): Kontani, Toru; Miyata, Junji; Hamaguchi, Wataru; Miyazaki, Yoji; Suzuki, Hiroshi; Nakai, Eiichi; Kageyama, Shunji
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Rational Drug Design Laboratories
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002038554	A1	20020516	WO 2001-JP9790	20011108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2428184	AA	20020516	CA 2001-2428184	20011108
AU 2002012734	A5	20020521	AU 2002-12734	20011108
EP 1340750	A1	20030903	EP 2001-981033	20011108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004034232	A1	20040219	US 2003-416371	20030512
PRIORITY APPLN. INFO.:			JP 2000-344354 A	20001110
			WO 2001-JP9790 W	20011108

OTHER SOURCE(S): MARPAT 136:386109
GI



I

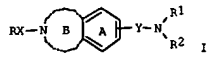
AB The title compds. I [R1, R2 = H, alkyl, etc.; ring A = (un)substituted aryl, etc.; X = CO, SO2; R3 = (un)substituted cycloalkyl, etc.] are prepared These amide derivs. are useful as drugs and antiviral agents, in particular, preventives or remedies for various diseases caused by the infection with herpesviruses, more specifically, various herpesvirus

L4 ANSWER 4 OF 8 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 136:5926 CA
TITLE: Preparation of benzoaromatic derivatives as melanin concentrating hormone antagonists
INVENTOR(S): Ishihara, Yuji; Terauchi, Jun; Suzuki, Nobuhiro; Takekawa, Shiro; Aso, Kazuyoshi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 285 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087834	A1	20011122	WO 2001-JP4015	20010515
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2408913	AA	20011122	CA 2001-2408913	20010515
JP 2002371059	A2	20021226	JP 2001-145691	20010515
EP 1283199	A1	20030212	EP 2001-930132	20010515
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003158177	A1	20030821	US 2002-276288	20021112
PRIORITY APPLN. INFO.:			JP 2000-148647 A	20000516
			JP 2001-116219 A	20010413
			WO 2001-JP4015 W	20010515

OTHER SOURCE(S): MARPAT 136:5926
GI



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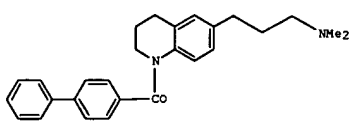


II

AB Title compds. [I; R = H, halo, cyclic; X = bond, spacer containing a chain

L4 ANSWER 4 OF 8 CA COPYRIGHT 2005 ACS on STN (Continued)
with one to six atoms; Y = spacer with one to six atoms; A = benzene; B = 5-9 membered nitrogen contg. nonarom. heterocycle; R1 = H, hydrocarbon, heterocycle; R2 = H, hydrocarbon, heterocycle; R1R2 = nitrogen contg. heterocycle; YR2 = nitrogenous heterocycle], melanin-concg. hormone antagonist, which contains a compd. represented by the formula or a salt thereof are prepd. useful as prevention or remedy for adiposity, diabetes, or high blood pressure. Thus, the title compd. II was prepd. and biol. tested.

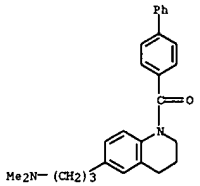
IT **374809-64-0P**

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzoarom. derivs. as melanin concentrating hormone antagonists)

RN 374809-64-0 CA

CN 6-Quinolinepropanamine, 1-([1,1'-biphenyl]-4-ylcarbonyl)-1,2,3,4-tetrahydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 531 THERE ARE 531 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 8 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 132:236997 CA

TITLE: Preparation of aza-bicycles which modulate the inhibition of cell adhesion

INVENTOR(S): Bourzat, Jean Dominique; Commercon, Alain; Filoche, Bruno Jacques Christophe; Harris, Neil Victor; McCarthy, Clive

PATENT ASSIGNEE(S): Rhone Poulenc Rorer Ltd., UK

SOURCE: PCT Int. Appl., 204 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015612	A1	20000323	WO 1999-GB2819	19990826
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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CA 2341677	AA	20000323	CA 1999-2341677	19990826
AU 9956343	A1	20000403	AU 1999-56343	19990826
AU 754557	B2	20021121		
EP 1114028	A1	20010711	EP 1999-943058	19990826
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TR 200100588	T2	20010821	TR 2001-200100588	19990826
BR 9913222	A	20011016	BR 1999-13222	19990826
JP 2002524552	T2	20020806	JP 2000-570152	19990826
NZ 509781	A	20030530	NZ 1999-509781	19990826
RU 2226526	C2	20040410	RU 2001-107825	19990826
ZA 2001001259	A	20020206	ZA 2001-1259	20010214
NO 2001000942	A	20010419	NO 2001-942	20010223
US 6608084	B1	20030819	US 2001-856106	20011017

PRIORITY APPLN. INFO.:

GB 1998-18641	A	19980826
US 1998-110008P	P	19981125
GB 1998-19641	A	19980826
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OTHER SOURCE(S): MARPAT 132:236997

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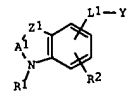
L4 ANSWER 5 OF 8 CA COPYRIGHT 2005 ACS on STN (Continued)



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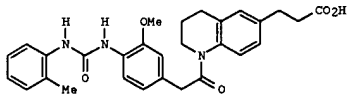


II

AB The title compds. [I; R1 = R3Z3-, R3L2R4Z3-, R3L3Ar1L4Z3-, R3L3Ar1L2R4Z3-; R2 = H, halo, alkyl, alkoxy; R3 = alkyl, alkenyl, alkynyl, etc.; R4 = alkylene, alkenylene, alkynylene; A1 = (un)substituted alkylene; Ar1 = arylene, heteroaryldiyl; L1 = a direct bond; alkenylene, alkylene, etc.; L2 = NR5C(:Z)NR5, C(:Z)NR5, CO, etc.; R5 = H, alkyl; Z = O, S; L3 = heteroaryldiyl, C(:Z)O, Z, etc.; L4 = a direct bond, alkylene, alkenylene, alkynylene; Z1 = CR7R7a, CO, CH(OH); Z3 = a direct bond, CO, SO2, etc.; Y = CO2H, acid bioisostere] which have valuable pharmaceutical properties, in particular the ability to regulate the interaction of VCAM-1 and fibronectin with the integrin VLA-4 (α4β1) (no data), were prepared Thus, a multi-step synthesis of the title compound II was given. Compds. I are effective at 0.01-1 mg/kg/day (i.v. administration).

IT **261732-06-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

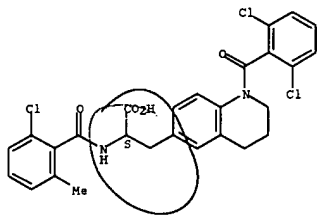
(preparation of azabicycles which modulate the inhibition of cell adhesion)

RN 261732-06-3 CA

CN 6-Quinolinepropanoic acid, α-[(2-chloro-6-methylbenzoyl)amino]-1-(2,6-dichlorobenzoyl)-1,2,3,4-tetrahydro-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 8 CA COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 8 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 130:110166 CA
TITLE: Preparation of amidinophenylpropionyltetrahydroquinolines and related compounds as antithrombotics.
INVENTOR(S): Heckel, Armin; Soyka, Rainer; Grell, Wolfgang; Haaksma, Eric; Binder, Klaus; Zimmermann, Rainer
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 50 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19727117	A1	19990107	DE 1997-19727117	19970626
CA 2288744	AA	19990107	CA 1998-2288744	19980622
WO 9900371	A1	19990107	WO 1998-EP3800	19980622
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9887279	A1	19990119	AU 1998-87279	19980622
EP 991624	A1	20000412	EP 1998-938621	19980622
EP 991624	B1	20031119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002511088	T2	20020409	JP 1999-505265	19980622
AT 254602	E	20031215	AT 1998-938621	19980622
MX 9911261	A	20000630	MX 1999-11261	19991206
US 6300342	B1	20011009	US 1999-457961	19991209

PRIORITY APPLN. INFO.: DE 1997-19727117 A 19970626
WO 1998-EP3800 W 19980622
OTHER SOURCE(S): MARPAT 130:110166
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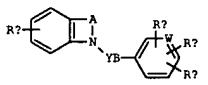
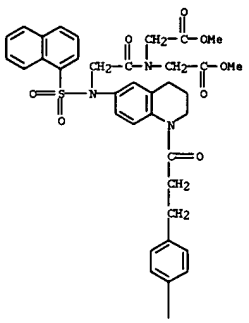


I

AB Title compds. [I; Ra = H, NO2, amino, aminocarbonyl; Rb = cyano, aminomethyl, (substituted) amidino; Rc, Rd = H, F, Cl, Br, iodo, Me, MeO, NO2, amino; A = (substituted) ethylene, ethyenylene, propylene, etc.; B = bond, (substituted) methylene, ethylene, ethenylene, propylene, etc.; W = N, CH; Y = CH2, CO, CS], were prepared Thus, 1-[3-(4-amidinophenyl)propionyl]-1,2,3,4-tetrahydroquinoline-6-carboxylic acid methyl-N-phenylamide (preparation given) had a thrombin time ED200 = 0.02 μM.

IT **219642-91-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenylpropionyltetrahydroquinolines and related compds. as antithrombotics)
RN 219642-91-8 CA
CN Glycine, N-(1-naphthalenylsulfonyl)-N-[1,2,3,4-tetrahydro-1-[3-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenyl]-1-oxopropyl]-6-quinolinyl]glycyl-N-(2-methoxy-2-oxoethyl)-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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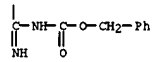
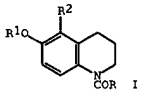


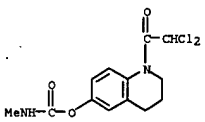
L4 ANSWER 7 OF 8 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 91:101954 CA
TITLE: 1-(Dichloroacetyl)-1,2,3,4-tetrahydro-6-quinolinol esters. New potent antiamebic agents
AUTHOR(S): Bailey, Denis M.; Mount, Eldridge M.; Siggins, James; Carlson, John A.; Yarinsky, Allen; Slighter, Ralph G.
CORPORATE SOURCE: Dep. Med. Chem., Sterling-Winthrop Res. Inst., Rensselaer, NY, USA
SOURCE: Journal of Medicinal Chemistry (1979), 22(5), 599-601
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 91:101954
GI



I

AB Fifteen 1-(haloacyl)-1,2,3,4-tetrahydro-6-quinolinols I (R = CHCL2, CH2Cl, or CCl3; R1 = H, PhCO, BuO2C, etc.; and R2 = H or halo) were synthesized and tested as antiamebic agents in the Entamoeba criceti infected hamster model. Several of the O-acyl derivs. were potent antiamebic agents. I (R = CHCl2, R1 = BuO2C, R2 = H) (62265-68-3) was selected for human testing because of its single-dose efficacy (0.75 mg/kg orally) and low toxicity.

IT **62265-72-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antiamebic activity of)
RN 62265-72-9 CA
CN 6-Quinolinol, 1-(dichloroacetyl)-1,2,3,4-tetrahydro-, methylcarbamate (ester) (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 8 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 86:121193 CA
TITLE: 1-(Halogenated-acetyl)-1,2,3,4-tetrahydro-6-quinolinols and esters
INVENTOR(S): Bailey, Denis Mahlon
PATENT ASSIGNEE(S): Sterling Drug Inc., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3997542	A	19761214	US 1975-589195	19750623
GB 1497004	A	19780105	GB 1976-23506	19760607
IL 49823	A1	19790930	IL 1976-49823	19760617
AU 504124	B2	19791004	AU 1976-14999	19760617
NL 7606624	A	19761227	NL 1976-6624	19760618
ZA 7603622	A	19770525	ZA 1976-3622	19760618
NO 7602150	A	19761227	NO 1976-2150	19760621
BE 843237	A1	19761222	BE 1976-1007469	19760622
DK 7602803	A	19761224	DK 1976-2803	19760622
FI 7601805	A	19761224	FI 1976-1805	19760622
SE 7607175	A	19761224	SE 1976-7175	19760622
FR 2315275	A1	19770121	FR 1976-18943	19760622
FR 2315275	B1	19781215		
ES 449094	A1	19771116	ES 1976-449094	19760622
CH 616663	A	19800415	CH 1976-7941	19760622
JP 52003078	A2	19770111	JP 1976-74280	19760623
DE 2627994	A1	19770120	DE 1976-2627994	19760623

PRIORITY APPLN. INFO.: US 1975-589195 A 19750623
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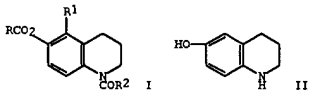
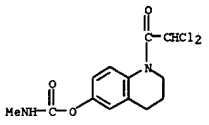


I II

AB The quinoline derivs. I (R = furyl, thenyl, Ph, pentyl, MeNH; R1 = H, Br, Cl; R2 = C12CH, C13C), useful as amebicides, were prepared by amidation, esterification, and optional halogenation of II.

IT **62265-72-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as amebicide)
RN 62265-72-9 CA
CN 6-Quinolinol, 1-(dichloroacetyl)-1,2,3,4-tetrahydro-, methylcarbamate (ester) (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 8 CA COPYRIGHT 2005 ACS on STN (Continued)



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L4 8 S L3

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